

## PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

To:

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PCT

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

Date of mailing  
(day/month/year) 25 JULY 2005 (25.07.2005)

Applicant's or agent's file reference

PCA50108/KIT - 8Y

FOR FURTHER ACTION

See paragraph 2 below

International application No.

PCT/KR2005/001051

International filing date (day/month/year)

12 APRIL 2005 (12.04.2005)

Priority date(day/month/year)

13 APRIL 2004 (13.04.2004)

International Patent Classification (IPC) or both national classification and IPC

IPC7 C07C 69/753

Applicant

KOREA RESEARCH INSTITUTE OF CHEMICAL TECHNOLOGY et al



1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

## 2. FURTHER ACTION


If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/KR

 Korean Intellectual Property Office  
920 Dunsan-dong, Seo-gu, Daejeon  
302-701, Republic of Korea  
Facsimile No. 82-42-472-7140

Date of completion of this opinion

22 JULY 2005 (22.07.2005)

Authorized officer

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**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.

PCT/KR2005/001051

**Box No. I Basis of this opinion**

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.  
☐ This opinion has been established on the basis of a translation from the original language into the following language \_\_\_\_\_, which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material  
☐ a sequence listing  
☐ table(s) related to the sequence listing
  - b. format of material  
☐ on paper  
☐ in electronic form
  - c. time of filing/furnishing  
☐ contained in the international application as filed.  
☐ filed together with the international application in electronic form.  
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.

PCT/KR2005/001051

**Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Claims	1 - 9	YES
	Claims	NONE	NO
Inventive step (IS)	Claims	1 - 9	YES
	Claims	NONE	NO
Industrial applicability (IA)	Claims	1 - 9	YES
	Claims	NONE	NO

**2. Citations and explanations :**

**1. Reference is made to the following documents:**

D1 : Molecular Cell, Vol.8, pp.737-747, Oct., 2001  
D2 : US 4218472 A1(AB Kabi) 19 Aug. 1980  
D3 : JP 62178552 A1(Taiyo Yakuhin Kogyo KK.) 05 Aug. 1987  
D4 : JP 58213732 A1(Hisamitsu Pharmaceut Co.) 12 Dec. 1983

**2. Novelty and Inventive Step**

The present invention of the claims 1-9 relates to a novel indene derivative, which is useful as a modulator of a peroxisome proliferator activated receptor(PPAR), a process for the preparation thereof and a pharmaceutical composition containing said compound as an active ingredient.

D1, which discloses a unique PPAR $\gamma$  Ligand with potent insulin-sensitizing yet weak adipogenic activity, has a common objective with the present invention in that it provides a substance for selectively modulating the activities of PPARs. But it suggests another indene compound having a different chemical structure from that of the present invention.

D2- D4, which disclose indene derivatives, describe a compound distinct from that of the present invention in that they have different functional groups comparing with those of the present invention.

Therefore the subject matter of the claims 1-9 are novel under PCT Article 33(2).

Some of said prior art documents suggest that indene derivatives are useful for coronary blood flow increasing activity, and anti-reserpine and antiallergic activity, but they do not disclose selectively modulating activities of PPARs causing no adverse side effects like the present invention and they do not suggest the fact that the indene derivatives are particularly useful for treatment and prevention of disorders modulated by metabolic syndromes such as diabetes, obesity, arteriosclerosis, and so on.

A novel compound of the present invention, which is capable of selectively modulating the activities of PPARs, exhibits excellent blood glucose level-lowering results and no adverse side-effect over D1-D4.

None of the prior art documents suggests or teaches that the compound of the present invention is useful for selective PPAR modulators which are capable of selectively controlling activities of the PPARs without causing side effects.

Therefore the subject matters of claims 1-9 are considered to involve an inventive step under PCT Article 33(3) .

**3.. Industrial Applicability**

The subject matter of claims 1-9 is considered to be industrially applicable under PCT Article 33(4).